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**TRANSMITTAL
FORM**

(to be used for all correspondence after initial filing)

| | | | |
|---|-----------------------------|----------------------------|------|
| TRANSMITTAL FORM (to be used for all correspondence after initial filing) | Application Number | 09/996,641 | |
| | Filing Date | November 28, 2001 | |
| | First Named Inventor | Vincent Mutel | |
| | Group Art Unit | 1646 | |
| | Examiner Name | | |
| Total Number of Pages in This Submission | 3 | Confirmation Number | 5346 |

ENCLOSURES (check all that apply)

| | | |
|--|---|--|
| <input type="checkbox"/> Fee Transmittal Form <input type="checkbox"/> Fee Attached <input type="checkbox"/> Amendment / Response <input type="checkbox"/> After Final <input type="checkbox"/> Affidavits/declaration(s) <input type="checkbox"/> Extension of Time Request <input type="checkbox"/> Express Abandonment Request <input checked="" type="checkbox"/> Information Disclosure Statement <input type="checkbox"/> Certified Copy of Priority Document(s) <input type="checkbox"/> Response to Missing Parts/ Incomplete Application <input type="checkbox"/> Response to Missing Parts under 37 CFR 1.52 or 1.53 | <input type="checkbox"/> Assignment Papers (for an Application) <input type="checkbox"/> Drawing(s) <input type="checkbox"/> Licensing-related Papers <input type="checkbox"/> Petition Routing Slip (PTO/SB/69) and Accompanying Petition <input type="checkbox"/> Petition to Convert to a Provisional Application <input type="checkbox"/> Power of Attorney, Revocation Change of Correspondence Address <input type="checkbox"/> Terminal Disclaimer <input type="checkbox"/> Small Entity Statement <input type="checkbox"/> Request for Refund | <input type="checkbox"/> After Allowance Communication to Group <input type="checkbox"/> Appeal Communication to Board of Appeals and Interferences <input type="checkbox"/> Appeal Communication to Group (Appeal Notice, Brief, Reply Brief) <input type="checkbox"/> Proprietary Information <input type="checkbox"/> Status Letter <input checked="" type="checkbox"/> Additional Enclosure(s) (please identify below): Form PTO 1449 and References |
| Remarks | | |

SIGNATURE OF APPLICANT, ATTORNEY, OR AGENT

| | |
|--------------------------------|-------------------|
| Firm or Individual name | Arthur D. Dawson |
| Signature | |
| Date | February 25, 2002 |

CERTIFICATE OF MAILING

I hereby certify that this correspondence is being deposited with the United States Postal Service as first class mail on the date shown below in an envelope addressed to: Commissioner for Patents, Washington, D.C. 20231:

| | | | |
|------------------------------|------------------------------------|-------------|-------------------|
| Typed or printed name | Arthur D. Dawson (Reg. No. 35,113) | | |
| Signature | | Date | February 25, 2002 |

Burden Hour Statement: This form is estimated to take 0.2 hours to complete. Time will vary depending upon the needs of the individual case. Any comments on the amount of time you are required to complete this form should be sent to the Chief Information Officer, Patent and Trademark Office, Washington, DC 20231. DO NOT SEND FEES OR COMPLETED FORMS TO THIS ADDRESS. SEND TO: Assistant Commissioner for Patents, Washington, DC 20231.



Patent Application

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IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In re Patent Application

Vincent Mutel et al.

Group: 1646
Examiner:

Serial No. 09/996,641, filed November 28, 2001

For: **PHENYLETHYNYL AND STYRYL DERIVATIVES OF IMIDAZOLE
AND FUSED RING HETEROCYCLES**

INFORMATION DISCLOSURE STATEMENT

Nutley, New Jersey 07110
February 25, 2002

Assistant Commissioner for Patents
Washington, D.C. 20231

Sir:


Pursuant to 37 C.F.R. §§ 1.56, 1.97 and 1.98, applicants respectfully direct the Examiner's attention to the documents listed on enclosed Form PTO-1449.

All the document listed on Form PTO-1449 are enclosed..

Consideration of the documents cited on Form PTO-1449 is requested.

Since the Information Disclosure Statement is submitted before the mailing of the first Office Action on the merits and/or within three months of the filing date for the above application, no fee is due.

Respectfully submitted,


Agent for Applicant(s)

Arthur D. Dawson
(Reg. No. 35,113)
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INTERNATIONAL SEARCH REPORT

International Application No

PCT/EP 01/13714

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 : C07D233/90 A61K31/4164 C07D413/04 A61P25/28

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D A61K A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

WPI Data, EPO-Internal, BEILSTEIN Data, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

| Category * | Citation of document, with indication, where appropriate, of the relevant passages | Relevant to claim No. |
|------------|---|-----------------------|
| Y | WO 99 08678 A (HOFFMANN LA ROCHE) 25 February 1999 (1999-02-25) ✓ page 1-2 --- | 1-46 |
| Y | WO 99 02497 A (NOVARTIS ERFIND VERWALT GMBH ;HECKENDORN ROLAND (CH); AUBERSON YVE) 21 January 1999 (1999-01-21) ✓ page 1-2 --- | 1-46 |
| P, Y | WO 01 16121 A (SCHWEIGER EDWIN J ;VERNIER JEAN MICHEL (US); CUBE ROWENA V (US); V) ✓ 8 March 2001 (2001-03-08) page 3-5 --- -/-- | 1-46 |

☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

* Special categories of cited documents :

- *A* document defining the general state of the art which is not considered to be of particular relevance
- *E* earlier document but published on or after the international filing date
- *L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- *O* document referring to an oral disclosure, use, exhibition or other means
- *P* document published prior to the international filing date but later than the priority date claimed

T later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

- *X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- *Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

* & * document member of the same patent family

Date of the actual completion of the international search

25 April 2002

Date of mailing of the international search report

16/05/2002

Name and mailing address of the ISA

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NL - 2280 HV Rijswijk
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Authorized officer

Lauro, P

INTERNATIONAL SEARCH REPORT

International Application No

PCT/EP 01/13714

| C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT | | |
|--|---|-----------------------|
| Category * | Citation of document, with indication, where appropriate, of the relevant passages | Relevant to claim No. |
| X | A. SHAFIEE ET AL.: "Synthesis of 2-(2-arylethyl)-1-methylimidazoles" J. HETEROCYCL. CHEM., vol. 33, no. 3, 1996, pages 671-673, XP001069539 * see compounds no. 6a, 6b, 6c, 6d, 6f * | 24 |
| A | T. SAKAMOTO ET AL.: "Palladium-catalyzed reactions of terminal acetylenes and olefins with halo-1,3-azoles" CHEM. PHARM. BULL., vol. 35, no. 2, 1987, pages 823-828, XP001068936 cited in the application * see compounds no. 2da, 4ca, 6da, 10cc, 11dc * | 24 |
| X | * see compound 7dc * | 37 |
| X | A. SHAFIEE ET AL.: "Synthesis of 2-(2-arylethyl)imidazoles" J. HETEROCYCLIC CHEM., vol. 35, no. 3, 1998, pages 607-610, XP001069546 * see compound no. 5, 10 * | 37 |
| X | DATABASE CROSSFIRE BEILSTEIN 'Online! Beilstein Institut zur Förderung der Chemischen Wissenschaften, Frankfurt am Main, DE; Database accession no. 8615795 XP002197389 abstract & IVANOVA ET AL.: CHEM. HETEROCYCL. COMP. (ENGL. TRANSL.), vol. 36, no. 2, 2000, pages 262-264, | 37 |
| X | DATABASE CROSSFIRE BEILSTEIN 'Online! Beilstein Institut zur Förderung der Chemischen Wissenschaften, Frankfurt am Main, DE; Database accession no. 141661 XP002197390 abstract & WADSWORTH: J. CHEM. SOC., vol. 57, 1890, page 11 | 37 |
| X | DE 20 35 905 A (CHEMISCHE FABRIK STOCKHAUSEN & CIE.) 3 February 1972 (1972-02-03) example 1 | 37 |

INTERNATIONAL SEARCH REPORT

International Application No

PCT/EP 01/13714

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

| Category * | Citation of document, with indication, where appropriate, of the relevant passages | Relevant to claim No. |
|------------|---|-----------------------|
| (X) | <p>DATABASE CROSSFIRE BEILSTEIN 'Online! Beilstein Institut zur Förderung der Chemischen Wissenschaften, Frankfurt am Main, DE; Database accession no. 3960 XP002197391 abstract & CORNFORTH; COOKSON: J. CHEM. SOC.; / - 1952 pages 1085-1087,</p> | 37 |
| (X) | <p>CHEMICAL ABSTRACTS, vol. 78, no. 3, 1973 Columbus, Ohio, US; abstract no. 11433e, page 10; XP002197388 abstract & W. ROSS: "Antiparasitic nitroimidazoles" J. MED. CHEM., vol. 15, no. 10, 1972, pages 1035-40, ✓</p> | 37 |
| A | <p>MILLER R D ET AL: "SUBSTITUTED AZOLE DERIVATIVES AS NONLINEAR OPTICAL CHROMOPHORES" CHEMISTRY OF MATERIALS, AMERICAN CHEMICAL SOCIETY, WASHINGTON, US, vol. 6, no. 7, 1994, pages 1023-1032, XP002028006 ISSN: 0897-4756 cited in the application * see compound 9c *</p> | 24 |

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/EP 01/13714

| Patent document cited in search report | | Publication date | Patent family member(s) | Publication date |
|---|---|---------------------|----------------------------|---------------------|
| WO 9908678 | A | 25-02-1999 | AU 741532 B2 | 06-12-2001 |
| | | | AU 9159398 A | 08-03-1999 |
| | | | BR 9811933 A | 05-09-2000 |
| | | | CN 1266368 T | 13-09-2000 |
| | | | WO 9908678 A1 | 25-02-1999 |
| | | | EP 1003505 A1 | 31-05-2000 |
| | | | HR 20000079 A1 | 31-12-2000 |
| | | | HU 0004412 A2 | 30-07-2001 |
| | | | JP 2001515037 T | 18-09-2001 |
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| | | | NO 20000124 A | 02-03-2000 |
| | | | PL 343865 A1 | 10-09-2001 |
| | | | SK 232000 A3 | 12-06-2000 |
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| | | | ZA 9806137 A | 22-01-1999 |
| WO 0116121 | A | 08-03-2001 | AU 6948200 A | 26-03-2001 |
| | | | WO 0116121 A1 | 08-03-2001 |
| DE 2035905 | A | 03-02-1972 | DE 2035905 A1 | 03-02-1972 |
| | | | FR 2103069 A5 | 07-04-1972 |

NOTES TO FORM PCT/ISA/220

These Notes are intended to give the basic instructions concerning the filing of amendments under article 19. The Notes are based on the requirements of the Patent Cooperation Treaty, the Regulations and the Administrative Instructions under that Treaty. In case of discrepancy between these Notes and those requirements, the latter are applicable. For more detailed information, see also the PCT Applicant's Guide, a publication of WIPO.

In these Notes, "Article", "Rule", and "Section" refer to the provisions of the PCT, the PCT Regulations and the PCT Administrative Instructions respectively.

INSTRUCTIONS CONCERNING AMENDMENTS UNDER ARTICLE 19

The applicant has, after having received the international search report, one opportunity to amend the claims of the international application. It should however be emphasized that, since all parts of the international application (claims, description and drawings) may be amended during the international preliminary examination procedure, there is usually no need to file amendments of the claims under Article 19 except where, e.g. the applicant wants the latter to be published for the purposes of provisional protection or has another reason for amending the claims before international publication. Furthermore, it should be emphasized that provisional protection is available in some States only.

What parts of the international application may be amended?

Under Article 19, only the claims may be amended.

During the international phase, the claims may also be amended (or further amended) under Article 34 before the International Preliminary Examining Authority. The description and drawings may only be amended under Article 34 before the International Examining Authority.

Upon entry into the national phase, all parts of the international application may be amended under Article 28 or, where applicable, Article 41.

When?

Within 2 months from the date of transmittal of the international search report or 16 months from the priority date, whichever time limit expires later. It should be noted, however, that the amendments will be considered as having been received on time if they are received by the International Bureau after the expiration of the applicable time limit but before the completion of the technical preparations for international publication (Rule 46.1).

Where not to file the amendments?

The amendments may only be filed with the International Bureau and not with the receiving Office or the International Searching Authority (Rule 46.2).

Where a demand for international preliminary examination has been /is filed, see below.

How?

Either by cancelling one or more entire claims, by adding one or more new claims or by amending the text of one or more of the claims as filed.

A replacement sheet must be submitted for each sheet of the claims which, on account of an amendment or amendments, differs from the sheet originally filed.

All the claims appearing on a replacement sheet must be numbered in Arabic numerals. Where a claim is cancelled, no renumbering of the other claims is required. In all cases where claims are renumbered, they must be renumbered consecutively (Administrative Instructions, Section 205(b)).

The amendments must be made in the language in which the international application is to be published.

What documents must/may accompany the amendments?

Letter (Section 205(b)):

The amendments must be submitted with a letter.

The letter will not be published with the international application and the amended claims. It should not be confused with the "Statement under Article 19(1)" (see below, under "Statement under Article 19(1)").

The letter must be in English or French, at the choice of the applicant. However, if the language of the international application is English, the letter must be in English; if the language of the international application is French, the letter must be in French.

NOTES TO FORM PCT/ISA/220 (continued)

The letter must indicate the differences between the claims as filed and the claims as amended. It must, in particular, indicate, in connection with each claim appearing in the international application (it being understood that identical indications concerning several claims may be grouped), whether

- (i) the claim is unchanged;
- (ii) the claim is cancelled;
- (iii) the claim is new;
- (iv) the claim replaces one or more claims as filed;
- (v) the claim is the result of the division of a claim as filed.

The following examples illustrate the manner in which amendments must be explained in the accompanying letter:

1. [Where originally there were 48 claims and after amendment of some claims there are 51]:
"Claims 1 to 29, 31, 32, 34, 35, 37 to 48 replaced by amended claims bearing the same numbers; claims 30, 33 and 36 unchanged; new claims 49 to 51 added."
2. [Where originally there were 15 claims and after amendment of all claims there are 11]:
"Claims 1 to 15 replaced by amended claims 1 to 11."
3. [Where originally there were 14 claims and the amendments consist in cancelling some claims and in adding new claims]:
"Claims 1 to 6 and 14 unchanged; claims 7 to 13 cancelled; new claims 15, 16 and 17 added." or
"Claims 7 to 13 cancelled; new claims 15, 16 and 17 added; all other claims unchanged."
4. [Where various kinds of amendments are made]:
"Claims 1-10 unchanged; claims 11 to 13, 18 and 19 cancelled; claims 14, 15 and 16 replaced by amended claim 14; claim 17 subdivided into amended claims 15, 16 and 17; new claims 20 and 21 added."

"Statement under article 19(1)" (Rule 46.4)

The amendments may be accompanied by a statement explaining the amendments and indicating any impact that such amendments might have on the description and the drawings (which cannot be amended under Article 19(1)).

The statement will be published with the international application and the amended claims.

It must be in the language in which the international application is to be published.

It must be brief, not exceeding 500 words if in English or if translated into English.

It should not be confused with and does not replace the letter indicating the differences between the claims as filed and as amended. It must be filed on a separate sheet and must be identified as such by a heading, preferably by using the words "Statement under Article 19(1)."

It may not contain any disparaging comments on the international search report or the relevance of citations contained in that report. Reference to citations, relevant to a given claim, contained in the international search report may be made only in connection with an amendment of that claim.

Consequence if a demand for international preliminary examination has already been filed

If, at the time of filing any amendments under Article 19, a demand for international preliminary examination has already been submitted, the applicant must preferably, at the same time of filing the amendments with the International Bureau, also file a copy of such amendments with the International Preliminary Examining Authority (see Rule 62.2(a), first sentence).

Consequence with regard to translation of the international application for entry into the national phase

The applicant's attention is drawn to the fact that, where upon entry into the national phase, a translation of the claims as amended under Article 19 may have to be furnished to the designated/elected Offices, instead of, or in addition to, the translation of the claims as filed.

For further details on the requirements of each designated/elected Office, see Volume II of the PCT Applicant's Guide.

PATENT COOPERATION TREATY

PCT

INTERNATIONAL SEARCH REPORT

(PCT Article 18 and Rules 43 and 44)

| | | |
|--|---|--|
| Applicant's or agent's file reference Case 20772 | FOR FURTHER ACTION see Notification of Transmittal of International Search Report (Form PCT/ISA/220) as well as, where applicable, item 5 below. | |
| International application No. PCT/EP 01/ 13714 | International filing date (day/month/year) 26/11/2001 | (Earliest) Priority Date (day/month/year) 04/12/2000 |
| Applicant F. HOFFMANN-LA ROCHE AG | | |

This International Search Report has been prepared by this International Searching Authority and is transmitted to the applicant according to Article 18. A copy is being transmitted to the International Bureau.

This International Search Report consists of a total of 4 sheets.



It is also accompanied by a copy of each prior art document cited in this report.

1. Basis of the report

- a. With regard to the **language**, the international search was carried out on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.



the international search was carried out on the basis of a translation of the international application furnished to this Authority (Rule 23.1(b)).

- b. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international search was carried out on the basis of the sequence listing :



contained in the international application in written form.



filed together with the international application in computer readable form.



furnished subsequently to this Authority in written form.



furnished subsequently to this Authority in computer readable form.



the statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.



the statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished

2. ☐ **Certain claims were found unsearchable** (See Box I).

3. ☐ **Unity of invention is lacking** (see Box II).

4. With regard to the title,

the text is approved as submitted by the applicant.



the text has been established by this Authority to read as follows:

PHENYLETHENYL OR PHENYLETHINYL DERIVATIVES AS GLUTAMATE RECEPTOR ANTAGONISTS

5. With regard to the abstract,

the text is approved as submitted by the applicant.



the text has been established, according to Rule 38.2(b), by this Authority as it appears in Box III. The applicant may, within one month from the date of mailing of this international search report, submit comments to this Authority.

6. The figure of the drawings to be published with the abstract is Figure No.

as suggested by the applicant.



because the applicant failed to suggest a figure.



because this figure better characterizes the invention.



None of the figures.